

wherein,

$R_1$  is a substituted or unsubstituted group selected from the group consisting of: C1-C6 alkyl, C3-C8 cycloalkyl, C2-C6 alkenyl, C3-C8 cycloalkenyl, C2-C6 alkynyl, C6-C10 aryl, C7-C15 arylalkyl and 4-8 membered heteroaryl;

Y is O, NH or S;

$R_2$  is a substituted or unsubstituted group selected from the group consisting of: C1-C6 alkyl, C3-C8 cycloalkyl, C2-C6 alkenyl, C3-C8 cycloalkenyl, C2-C6 alkynyl, C6-C10 aryl, C7-C15 arylalkyl, 4-8 membered heteroaryl and  $-C(=O)R_4$ , wherein  $R_4$  is a substituted or unsubstituted group selected from the group consisting of: C1-C6 alkyl, C3-C8 cycloalkyl, C2-C6 alkenyl, C3-C8 cycloalkenyl, C2-C6 alkynyl, C6-C10 aryl, C7-C15 arylalkyl and 4-8 membered heteroaryl;

$=$  represents a double bond or a single bond, when it is a double bond,  $R_3$  is O; when it is a single bond,  $R_3$  is  $OR_5$ , F or SH, and  $R_5$  is H, Boc, TBS, TES,  $CH_2SCH_3$ ,  $CH_2OCH_3$ ,  $-CH_2OP(=O)(OH)_2$ ,  $-CH_2OP(=O)(OBn)_2$ ,  $-OP(=O)(OH)_2$ ,  $-OP(=O)(OBn)_2$ ,  $-COOH$ , monosaccharide, folic acid and folic acid analog or monoclonal antibody;

each X is independently H, OH or halogen;

each of the above term "substituted" independently means that one or more hydrogen atoms on the group are substituted with a substituent selected from the group consisting of: halogen,  $-OH$ ,  $NH_2$ , CN,  $COOH$ ,  $-OP(=O)(OH)_2$ , unsubstituted or halogenated C1-C8 alkyl, unsubstituted or halogenated C3-C8 cycloalkyl, unsubstituted or halogenated C1-C8 alkoxy, unsubstituted or halogenated C2-C6 alkenyl, unsubstituted or halogenated C2-C6 alkynyl, unsubstituted or halogenated C2-C6 acyl, unsubstituted or halogenated C2-C6 amido, unsubstituted or halogenated 5-8 membered aryl, unsubstituted or halogenated 5-8 membered heteroaryl, unsubstituted or halogenated 4-8 membered saturated heterocycle or carbocycle; wherein each of the above heteroaryl groups independently contains 1-3 heteroatoms selected from the group consisting of N, O and S.

2. The compound of claim 1, wherein each X is H.

3. The compound of claim 1, wherein Y is O.

4. The compound of claim 1, wherein  $R_1$  is a substituted or unsubstituted group selected from the group consisting of: C1-C4 alkyl, C3-C6 cycloalkyl, C6-C10 aryl or 4-8 membered heteroaryl, wherein the term "substituted" means one or more hydrogen atoms on the group are substituted with a substituent selected from the group consisting of halogen,  $-OH$ , unsubstituted or halogenated C1-C4 alkyl, and unsubstituted or halogenated C1-C3 alkoxy.

5. The compound of claim 1, wherein  $R_2$  is a substituted or unsubstituted group selected from the group consisting of: C1-C4 alkyl, C7-C10 arylalkyl, 4-6 membered heteroaryl or  $-C(=O)R_4$ , wherein  $R_4$  is a substituted or unsubstituted group selected from the group consisting of: C1-C4 alkyl, C3-C6 cycloalkyl, C6-C10 aryl, C7-C15 arylalkyl or 4-8 membered heteroaryl, wherein the term "substituted" means one or more hydrogen atoms on the group are substituted with a substituent selected from the group consisting of halogen,  $-OH$ , unsubstituted or halogenated C1-C4 alkyl, and unsubstituted or halogenated C1-C3 alkoxy.

6. The compound of claim 1, wherein the compound is:

